SUPPLEMENTAL AMENDMENT UNDER 37 C.F.R. § 1.111 Attorney Docket No.: Q96347

Application No.: 10/591,403

# AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions and listings of claims in the application:

#### LISTING OF CLAIMS:

1. (previously presented): A fused heterocyclic derivative represented by the following general formula (I):

$$R^1$$
 $R^2$ 
 $R^3$ 
 $R^4$ 

wherein

one of  $R^1$  and  $R^4$  represents a group represented by the general formula:

$$Q - (S)$$
 $R^{6}$ 

in the formula R<sup>5</sup> and R<sup>6</sup> independently represent a hydrogen atom, a hydroxy group, a halogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl group, a C<sub>2-6</sub> alkynyl group, a C<sub>1-6</sub> alkoxy group, a C<sub>2-6</sub> alkenyloxy group, a C<sub>1-6</sub> alkylthio group, a C<sub>2-6</sub> alkenylthio group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a halo(C<sub>1-6</sub> alkylthio) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkylthio) group, a hydroxy(C<sub>1-6</sub> alkylthio) group, a carboxy(C<sub>1-6</sub> alkylthio) group, a carboxy(C<sub>1-6</sub> alkyl) group, a carboxy(C<sub>1-6</sub> alkylthio) group, a C<sub>2-7</sub> alkoxycarbonyl group, a C<sub>2-7</sub> alkoxycarbonyl group, a C<sub>2-7</sub> alkoxycarbonyl group, a C<sub>2-7</sub> alkoxycarbonyl group, a C<sub>2-7</sub>

alkoxycarbonyl( $C_{1-6}$  alkoxy) group, a  $C_{2-7}$  alkoxycarbonyl( $C_{1-6}$  alkylthio) group, a  $C_{1-6}$  alkylsulfinyl group, a  $C_{1-6}$  alkylsulfonyl group, -U-V-W-N( $R^7$ )-Z, or any of the following substituents (i) to (xxviii) which may have 1 to 3 substituents selected from the later identified substituent group  $\alpha$  on the ring;

(i) a C<sub>6-10</sub> aryl group, (ii) C<sub>6-10</sub> aryl-O-, (iii) C<sub>6-10</sub> aryl-S-, (iv) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkyl) group, (v) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkoxy) group, (vi) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkylthio) group, (vii) a heteroaryl group, (viii) heteroaryl-O-, (ix) heteroaryl-S-, (x) a heteroaryl(C<sub>1-6</sub> alkyl) group, (xi) a heteroaryl(C<sub>1-6</sub> alkoxy) group, (xii) a heteroaryl(C<sub>1-6</sub> alkylthio) group, (xiii) a C<sub>3-7</sub> cycloalkyl group, (xiv) C<sub>3-7</sub> cycloalkyl-O-, (xv) C<sub>3-7</sub> cycloalkyl-S-, (xvi) a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkyl) group, (xvii) a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkylthio) group, (xix) a heterocycloalkyl group, (xx) heterocycloalkyl-O-, (xxi) heterocycloalkyl-S-, (xxii) a heterocycloalkyl(C<sub>1-6</sub> alkyl) group, (xxiii) a heterocycloalkyl(C<sub>1-6</sub> alkyl) group, (xxiii) a heterocycloalkyl(C<sub>1-6</sub> alkylthio) group, (xxvi) an aromatic cyclic amino group, (xxvi) an aromatic cyclic amino (C<sub>1-6</sub> alkyl) group or (xxvii) an aromatic cyclic amino (C<sub>1-6</sub> alkoxy) group, (xxviii) an aromatic cyclic amino (C<sub>1-6</sub> alkylthio) group,

U represents -O-, -S- or a single bond and with the proviso that at least one of V and W is not a single bond when U is -O- or -S-);

V represents a  $C_{1-6}$  alkylene group which may have a hydroxy group, a  $C_{2-6}$  alkenylene group or a single bond;

W represents -CO-, -SO<sub>2</sub>-, -C(=NH)- or a single bond;

Z independently represents a hydrogen atom, a  $C_{2-7}$  alkoxycarbonyl group, a  $C_{6-10}$  aryl( $C_{2-7}$  alkoxycarbonyl) group, a formyl group,  $-R^A$ ,  $-COR^B$ ,  $-SO_2R^B$ ,  $-CON(R^C)R^D$ ,  $-CON(R^C)R^D$ ,  $-SO_2NHR^A$  or  $-C(=NR^E)N(R^F)R^G$ ;

 $R^7$ ,  $R^A$ ,  $R^C$  and  $R^D$  independently represent a hydrogen atom, a  $C_{1-6}$  alkyl group which may have 1 to 5 substituents selected from the later identified substituent group  $\beta$ , or any of the following substituents (xxix) to (xxxii) which may have 1 to 3 substituents selected from the later identified substituent group  $\alpha$ ;

(xxix) a  $C_{6-10}$  aryl group, (xxx) a heteroaryl group, (xxxi) a  $C_{3-7}$  cycloalkyl group or (xxxii) a heterocycloalkyl group

or Z and  $R^7$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have 1 to 3 substituents selected from the later identified substituent group  $\alpha$ ;

or  $R^C$  and  $R^D$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have 1 to 3 substituents selected from the later identified substituent group  $\alpha$ ;

 $R^B$  represents a  $C_{2-7}$  alkoxycarbonyl group, a  $C_{1-6}$  alkylsulfonylamino group, a  $C_{6-10}$  arylsulfonylamino group, a  $C_{1-6}$  alkyl group which may have 1 to 5 substituents selected from the later identified substituent group  $\beta$  or any of the following substituents (xxxiii) to (xxxvi) which may have 1 to 3 substituents selected from the later identified substituent group  $\alpha$ ;

(xxxiii) a C<sub>6-10</sub> aryl group, (xxxiv) a heteroaryl group, (xxxv) a C<sub>3-7</sub> cycloalkyl group or (xxxvi) a heterocycloalkyl group,

 $R^E$ ,  $R^F$  and  $R^G$  independently represent a hydrogen atom, a cyano group, a carbamoyl group, a  $C_{2-7}$  acyl group, a  $C_{2-7}$  alkoxycarbonyl group, a  $C_{6-10}$  aryl( $C_{2-7}$  alkoxycarbonyl) group, a nitro group, a  $C_{1-6}$  alkylsulfonyl group, a sulfamide group, a carbamimidoyl group or a  $C_{1-6}$  alkyl group which may have 1 to 5 substituents selected from the later identified substituent group  $\beta$ ;

or R<sup>E</sup> and R<sup>F</sup> bind together to form an ethylene group;

or  $R^F$  and  $R^G$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any substituent selected from the later identified substituent group  $\alpha$ ;

Q represents - $C_{1-6}$  alkylene-, - $C_{2-6}$  alkenylene-, - $C_{2-6}$  alkylene-O-, - $C_{1-6}$  alkylene-O-, - $C_{1-6}$  alkylene-, - $C_{1-6}$  alkylene-; alkylene-, - $C_{1-6}$  alkylene-; or - $C_{1-6}$  alkylene-;

R<sup>8</sup> represents a hydrogen atom or a C<sub>1-6</sub> alkyl group;

ring A represents a C<sub>6-10</sub> aryl group or a heteroaryl group, and

the other one of R¹ and R⁴ represents a hydrogen atom, a hydroxy group, an amino group, a halogen atom, a C₁-6 alkyl group, a C₁-6 alkoxy group, a cyano group, a carboxy group, a C₂-7 alkoxycarbonyl group, a carbamoyl group, a mono or di(C₁-6 alkyl)amino group, a halo(C₁-6 alkyl) group, a hydroxy(C₁-6 alkyl) group, a cyano(C₁-6 alkyl) group, a carboxy(C₁-6 alkyl) group, a C₂-7 alkoxycarbonyl(C₁-6 alkyl) group, a carbamoyl(C₁-6 alkyl) group, an amino(C₁-6 alkyl) group, a mono or di(C₁-6 alkyl)amino(C₁-6 alkyl) group, a halo(C₁-6 alkoxy) group, a hydroxy(C₁-6 alkoxy) group, a carboxy(C₁-6 alkoxy) group, a C₂-7 alkoxycarbonyl(C₁-6 alkoxy) group, a carbamoyl(C₁-6 alkoxy) group, a mono or di(C₁-6 alkoxy) group, a carbamoyl(C₁-6 alkoxy) group, a C₃-7 cycloalkyl group, a C₃-7 cycloalkyl(C₁-6 alkoxy) group, a C₃-7 cycloalkyl(C₁-6 alkoxy) group, or C₃-7 cycloalkyl(C₁-6 alkoxy) group;

 $R^2$  and  $R^3$  independently represent a hydrogen atom, a hydroxy group, an amino group, a halogen atom, a  $C_{1-6}$  alkyl group, a  $C_{1-6}$  alkoxy group, a cyano group, a carboxy group, a  $C_{2-7}$  alkoxycarbonyl group, a carbamoyl group, a mono or  $di(C_{1-6}$  alkyl) amino group, a halo $(C_{1-6}$  alkyl) group, a cyano $(C_{1-6}$  alkyl) group, a carboxy $(C_{1-6}$  alkyl) group, a  $C_{2-7}$  alkoxycarbonyl $(C_{1-6}$  alkyl) group, a carbamoyl $(C_{1-6}$  alkyl) group, a mono or  $di(C_{1-6}$  alkyl) group, a halo $(C_{1-6}$  alkyl) group, a hydroxy $(C_{1-6}$  alkyl) group, a halo $(C_{1-6}$  alkoxy) group, a hydroxy $(C_{1-6}$  alkyl) group, a hydroxy $(C_{1-6}$  alkyl)

6 alkoxy) group, a carboxy(C<sub>1-6</sub> alkoxy) group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>1-6</sub> alkoxy) group, a carbamoyl(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkoxy) group, a C<sub>3-7</sub> cycloalkyl group, a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkyl) group, or C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkoxy) group;

A<sup>1</sup> represents O, S or NR<sup>9</sup>;

A<sup>2</sup> represents CH or N;

R<sup>9</sup> represents a hydrogen atom or a C<sub>1-6</sub> alkyl group;

G represents a group represented by a formula:

$$E^{1} \xrightarrow{E^{2}} O \xrightarrow{\text{OH}} (G-1)$$

or a formula:

HO OH 
$$(G-2)$$

E<sup>1</sup> represents a hydrogen atom, a fluorine atom or a hydroxy group;

E<sup>2</sup> represents a hydrogen atom, a fluorine atom, a methyl group or a hydroxymethyl group;

substituent group α:

a halogen atom, a hydroxy group, an amino group, a  $C_{1-6}$  alkyl group, a  $C_{1-6}$  alkoxy group, a halo( $C_{1-6}$  alkyl) group, a halo( $C_{1-6}$  alkoxy)group, a hydroxy( $C_{1-6}$  alkyl) group, a  $C_{2-7}$  alkoxycarbonyl( $C_{1-6}$  alkyl) group, a hydroxy( $C_{1-6}$  alkoxy) group, an amino( $C_{1-6}$  alkyl) group, a mono or di( $C_{1-6}$  alkyl)amino group, a mono or di[hydroxy( $C_{1-6}$  alkyl)]amino group, a  $C_{1-6}$  alkylsulfonyl group, a  $C_{1-6}$  alkylsulfonylamino group, a  $C_{1-6}$ 

alkylsulfonylamino( $C_{1-6}$  alkyl) group, a carboxy group, a  $C_{2-7}$  alkoxycarbonyl group, a sulfamoyl group and  $-CON(R^H)R^I$ 

#### substituent group β:

a halogen atom, a hydroxy group, an amino group, a  $C_{1-6}$  alkoxy group, a  $C_{1-6}$  alkylthio group, a halo( $C_{1-6}$  alkoxy) group, a halo( $C_{1-6}$  alkylthio) group, a hydroxy( $C_{1-6}$  alkoxy) group, a hydroxy( $C_{1-6}$  alkylthio) group, an amino( $C_{1-6}$  alkylthio) group, a mono or di( $C_{1-6}$  alkyl)amino group, a mono or di[hydroxy( $C_{1-6}$  alkyl)]amino group, an ureido group, a sulfamide group, a mono or di( $C_{1-6}$  alkyl)ureido group, a mono or di[hydroxy( $C_{1-6}$  alkyl)]ureido group, a mono or di( $C_{1-6}$  alkyl)sulfamide group, a mono or di[hydroxy( $C_{1-6}$  alkyl)]-sulfamide group, a  $C_{2-7}$  acylamino group, an amino( $C_{2-7}$  acylamino) group, a  $C_{1-6}$  alkylsulfonyl group, a  $C_{1-6}$  alkylsulfonylamino group, a carbamoyl( $C_{1-6}$  alkylsulfonylamino) group, a carboxy group, a  $C_{2-7}$  alkoxycarbonyl group, - $CON(R^H)R^I$ , and any of the following substituents (xxxvii) to (xxxxviii) which may have 1 to 3 substituents selected from the above substituent group  $\alpha$ ;

(xxxvii) a C<sub>6-10</sub> aryl group, (xxxviii) C<sub>6-10</sub> aryl-O-, (xxxix) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkoxy) group, (xxxx) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkylthio) group, (xxxxi) a heteroaryl group, (xxxxii) heteroaryl-O-, (xxxxiii) a C<sub>3-7</sub> cycloalkyl group, (xxxxiv) C<sub>3-7</sub> cycloalkyl-O-, (xxxxv) a heterocycloalkyl group, (xxxxvi) heterocycloalkyl-O-, (xxxxvii) an aliphatic cyclic amino group or (xxxxviii) an aromatic cyclic amino group

 $R^H$  and  $R^I$  independently represent a hydrogen atom or a  $C_{1-6}$  alkyl group which may have 1 to 3 substituents selected from the later identified substituent group  $\gamma$ ;

or both of  $R^H$  and  $R^I$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have 1 to 3 substituents selected from the later identified substituent group  $\delta$ ;

## substituent group γ:

a halogen atom, a hydroxy group, an amino group, a  $C_{1-6}$  alkoxy group, a halo( $C_{1-6}$  alkoxy) group, a hydroxy( $C_{1-6}$  alkoxy) group, an amino( $C_{1-6}$  alkoxy) group, a mono or di( $C_{1-6}$  alkyl)amino group, a mono or di[hydroxy( $C_{1-6}$  alkyl)]amino group, an ureido group, a sulfamide group, a mono or di( $C_{1-6}$  alkyl)ureido group, a mono or di[hydroxy( $C_{1-6}$  alkyl)]ureido group, a mono or di( $C_{1-6}$  alkyl)sulfamide group, a mono or di[hydroxy( $C_{1-6}$  alkyl)]sulfamide group, a  $C_{2-7}$  acylamino group, an amino( $C_{2-7}$  acylamino) group, a  $C_{1-6}$  alkylsulfonylamino group, a carbamoyl( $C_{1-6}$  alkylsulfonylamino) group, a carboxy group, a  $C_{2-7}$  alkoxycarbonyl group, a sulfamoyl group and - $CON(R^J)R^K$ 

### substituent group $\delta$ :

a halogen atom, a hydroxy group, an amino group, a  $C_{1-6}$  alkyl group, a  $C_{1-6}$  alkoxy group, a halo( $C_{1-6}$  alkyl) group, a halo( $C_{1-6}$  alkoxy) group, a hydroxy( $C_{1-6}$  alkyl) group, a  $C_{2-7}$  alkoxycarbonyl( $C_{1-6}$  alkyl) group, a hydroxy( $C_{1-6}$  alkoxy) group, an amino( $C_{1-6}$  alkyl) group, a mono or di( $C_{1-6}$  alkyl)amino group, a mono or di[hydroxy( $C_{1-6}$  alkyl)]amino group, a  $C_{1-6}$  alkylsulfonyl group, a  $C_{1-6}$  alkylsulfonylamino group, a  $C_{1-6}$  alkylsulfonylamino( $C_{1-6}$  alkyl) group, a carboxy group, a  $C_{2-7}$  alkoxycarbonyl group, a sulfamoyl group and  $-CON(R^J)R^K$ 

 $R^{J}$  and  $R^{K}$  independently represent a hydrogen atom or a  $C_{1-6}$  alkyl group which may have any 1 to 3 substituents selected from a hydroxy group, an amino group, a mono or di( $C_{1-6}$  alkyl)amino group, a  $C_{2-7}$  alkoxycarbonyl group and a carbamoyl group;

or both of  $R^J$  and  $R^K$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from a hydroxy group, an amino group, a mono or di( $C_{1-6}$  alkyl)amino group, a  $C_{1-6}$  alkyl group, a hydroxy( $C_{1-6}$ 

alkyl) group, a  $C_{2-7}$  alkoxycarbonyl group, a  $C_{2-7}$  alkoxycarbonyl( $C_{1-6}$  alkyl) group and a carbamoyl group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

- 2. (canceled).
- 3. (withdrawn): A fused heterocyclic derivative as claimed in claim 2, wherein Q represents an ethylene group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
  - 4. (canceled).
- 5. (currently amended): A fused heterocyclic derivative as claimed in claim 1, wherein R<sup>5</sup> and R<sup>6</sup> independently represent a hydrogen atom, a hydroxy group, a halogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl group, a C<sub>2-6</sub> alkynyl group, a C<sub>1-6</sub> alkoxy group, a C<sub>2-6</sub> alkenyloxy group, a C<sub>1-6</sub> alkylthio group, a C<sub>2-6</sub> alkenylthio group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>2-6</sub> alkenyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group or a hydroxy(C<sub>1-6</sub> alkylthio) group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
- 6. (previously presented): A fused heterocyclic derivative as claimed in claim 1, wherein the ring A represents a benzene ring or a pyridine ring, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
- 7. (previously presented): A fused heterocyclic derivative as claimed in claim 1, wherein G represents a group represented by the formula:

or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

- 8. (previously presented): A pharmaceutical composition comprising as an active ingredient a fused heterocyclic derivative as claimed in claim 1, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
- 9. (previously presented): A human SGLT inhibitor comprising as an active ingredient a fused heterocyclic derivative as claimed in claim 1, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
- 10. (original): A human SGLT inhibitor as claimed in claim 9, wherein the SGLT is SGLT1 and/or SGLT2.
- 11. (original): A human SGLT inhibitor as claimed in claim 9, which is an agent for the inhibition of postprandial hyperglycemia.
- 12. (original): A human SGLT inhibitor as claimed in claim 9, which is an agent for the prevention or treatment of a disease associated with hyperglycemia.
- 13. (original): A human SGLT inhibitor as claimed in claim 12, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes,

impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

- 14. (original): A human SGLT inhibitor as claimed in claim 9, which is an agent for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.
- 15. (original): A pharmaceutical composition as claimed in claim 8, wherein the dosage form is sustained release formulation.
- 16. (original): A human SGLT inhibitor as claimed in claim 9, wherein the dosage form is sustained release formulation.
- 17. (withdrawn): A method for the inhibition of postprandial hyperglycemia, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in claim 1, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
- 18. (withdrawn): A method for the prevention or treatment of a disease associated with hyperglycemia, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in claim 1, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
- 19. (withdrawn): A method for the prevention or treatment as claimed in claim 18, wherein the disease associated with hyperglycemia is a disease selected from the group

consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

20. (withdrawn): A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in claim 1, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

Claims 21-24 (canceled).

25. (withdrawn): A pharmaceutical composition as claimed in claim 8, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-κB inhibitor, a lipid

peroxidase inhibitor, an N-acetylated-α-linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β<sub>3</sub>-adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

26. (withdrawn): A human SGLT inhibitor as claimed in claim 9, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase

inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-kB inhibitor, a lipid peroxidase inhibitor, an N-acetylated-α-linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β<sub>3</sub>-adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

A method for the inhibition of postprandial hyperglycemia as 27. (withdrawn): claimed in claim 17, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructosebisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-κB inhibitor, a lipid peroxidase inhibitor, an Nacetylated-α-linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an

angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

A method for the prevention or treatment of a disease associated 28. (withdrawn): with hyperglycemia as claimed in claim 18, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-κB inhibitor, a lipid peroxidase inhibitor, an N-acetylated-α-linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-

761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

29. (withdrawn): A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject as claimed in claim 19, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an

amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ-aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF-kB inhibitor, a lipid peroxidase inhibitor, an N-acetylated-α-linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β<sub>3</sub>-adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

Claims 30-32 (canceled).